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Published:

with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title:

 $5\hbox{-}[[2(R)\hbox{-}[1(R)\hbox{-}[3,5\hbox{-BIS}(TRIFLUOROMETHYL)PHENYL]ETHOXY}]\hbox{-}3(S)\hbox{-}(4\hbox{-}FLUO-FLUOROMETHYL)PHENYL]ETHOXY]\hbox{-}3(S)\hbox{-}(4\hbox{-}FLUOROMETHYL)PHENYL]ETHOXY]$ **PROCESS FOR** ROPHENYL)-4-MORPHOLINYL]METHYL]-1,2-DIHYDRO-3H-1,2,4-TRIAZOL-3-ONE

The present invention is concerned with a novel process for the preparation of the compound (57) Abstract: 5-[[2(R)-[1(R)-[3,5-bis(trifluoromethyl)phenyl]ethoxy]-3(S)-(4-fluorophenyl)-4-morpholinyl]methyl]-1,2-dihydro-3H-1,2,4-triazol-3-one. This compound is useful as a substance P (neurokinin-1) receptor antagonist. In particular, the compound is useful e.g., in the treatment of psychiatric disorders, inflammatory diseases and emesis.



INTERNATIONAL SEARCH REPORT

International application No.

PCT/US03/11956

| | | | FC1/0303/11930 | | | |
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| A. CLA | A. CLASSIFICATION OF SUBJECT MATTER | | | | | |
| IPC(7) : C07D 413/06 | | | | | | |
| US CL : 544/132 | | | | | | |
| According to International Patent Classification (IPC) or to both national classification and IPC | | | | | | |
| B. FIELDS SEARCHED | | | | | | |
| Minimum documentation searched (classification system followed by classification symbols) | | | | | | |
| U.S.: 544/132 | | | | | | |
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| Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched | | | | | | |
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| Electronic data base consulted during the international search (name of data base and, where practicable, search terms used) | | | | | | |
| CAS ONLINE | | | | | | |
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| C. DOCUMENTS CONSIDERED TO BE RELEVANT | | | | | | |
| Category * | Citation of document, with indication, where ap | propriate, of the rele | vant passages | Relevant to claim No. | | |
| A | US 6,096,742 A (CROCKER et al) 01 August 2000 | | | 2-5,8,9,11 and 14 | | |
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| Furthe | er documents are listed in the continuation of Box C. | See patent | family annex. | | | |
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| • | Special categories of cited documents: | | | nternational filing date or the application but cited to | | |
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| | mailing address of the ISA/US | Authorized officer | /// | IN AMA | | |
| | lail Stop PCT, Attn: ISA/US commissioner for Patents | Robert W. Ramsus | я (<i>/\ </i> | Willing | | |
| | O. Box 1450 | | Week. | | | |
| A | lexandria, Virginia 22313-1450 | Telephone No. 703 | 3-308-1235 | | | |
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Form PCT/ISA/210 (second sheet) (July 1998)

| International application | No. |
|---------------------------|-----|
| DGM/1300/11056 | |

| Box | Box I Observations where certain claims were found unsearchable (Continuation of Item 1 of first sheet) | | | | |
|---|---|---|--|--|--|
| This international report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons: | | | | | |
| 1. | | Claim Nos.: because they relate to subject matter not required to be searched by this Authority, namely: | | | |
| 2. | \boxtimes | Claim Nos.: 1,6,7,10,12 and 13 because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically: The claims do not provide a sufficient description of subject matter upon which a reasonable search may be preformed. | | | |
| 3. | 6.4(a). | Claim Nos.: because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule | | | |
| Box II Observations where unity of invention is lacking (Continuation of Item 2 of first sheet) | | | | | |
| This International Searching Authority found multiple inventions in this international application, as follows: | | | | | |
| | 7 | | | | |
| 1. | | As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims. | | | |
| 2. | | As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee. | | | |
| 3. | | As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.: | | | |
| 4. Res | mark on | No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.: Protest The additional search fees were accompanied by the applicant's protest. | | | |
| | | No protest accompanied the payment of additional search fees. | | | |

Form PCT/ISA/210 (continuation of first sheet(1)) (July 1998)